

# PATENT COOPERATION TREATY

From the INTERNATIONAL BUREAU

PCT

## NOTIFICATION OF ELECTION

(PCT Rule 61.2)

<b>Date of mailing (day/month/year)</b> 11 October 2000 (11.10.00)	in its capacity as elected Office
<b>International application No.</b> PCT/US00/01956	<b>Applicant's or agent's file reference</b> P50869
<b>International filing date (day/month/year)</b> 25 January 2000 (25.01.00)	<b>Priority date (day/month/year)</b> 25 January 1999 (25.01.99)
<b>Applicant</b>	

1. The designated Office is hereby notified of its election made:

in the demand filed with the International Preliminary Examining Authority on:

08 August 2000 (08.08.00)

in a notice effecting later election filed with the International Bureau on:

2. The election  was

14

was not

made before the expiration of 19 months from the priority date or, where Rule 32 applies, within the time limit under Rule 32.2(b).

<p><b>The International Bureau of WIPO</b> 34, chemin des Colombettes 1211 Geneva 20, Switzerland</p>	<p>Authorized officer Juan Cruz</p>
<p>Facsimile No.: (41-22) 740.14.35</p>	<p>Telephone No.: (41-22) 338.83.38</p>

PCT

WORLD INTELLECTUAL PROPERTY ORGANIZATION  
International Bureau



INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification <sup>6</sup> :  A61K 31/435, 31/47		A1	(11) International Publication Number: WO 00/43008
(21) International Application Number: PCT/US00/01956		(43) International Publication Date: 27 July 2000 (27.07.00)	
(22) International Filing Date: 25 January 2000 (25.01.00)		(81) Designated States: CA, JP, US, European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE).	
(30) Priority Data: 60/117,059 25 January 1999 (25.01.99) US		(Published)	<i>With international search report. Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.</i>
(71) Applicant (for all designated States except US): SMITHKLINE BEECHAM CORPORATION [US/US]; Corporate Intellectual Property, UW2220, 709 Swedeland Road, P.O. Box 1539, King of Prussia, PA 19406-0939 (US).			
(72) Inventors; and			
(75) Inventors/Applicants (for US only): MURPHY, Dennis [US/US]; 500 Pickering Circle, Chester Springs, PA 19425 (US). WIER, Patrick, J. [US/US]; 709 Swedeland Road, P.O. Box 1539, King of Prussia, PA 19406-0939 (US). GIARDINA, Giuseppe, Arnaldo, Maria [IT/IT]; SmithKline Beecham Farmaceutici S.p.A., Via Zambeletti, I-20021 Baranzate (IT).			
(74) Agents: STEIN-FERNANDEZ, Nora et al.; SmithKline Beecham Corporation, Corporate Intellectual Property, UW2220, 709 Swedeland Road, P.O. Box 1539, King of Prussia, PA 19406-0939 (US).			

(54) Title: ANTI-ANDROGENS AND METHODS FOR TREATING DISEASE

**(57) Abstract**

The present invention is the novel use of NK-3 receptor antagonist compounds for the treatment and/or prophylaxis of diseases which are caused by high or inappropriate levels of gonadotropins and/or androgens, particularly testosterone.

**FOR THE PURPOSES OF INFORMATION ONLY**

Codes used to identify States party to the PCT on the front pages of pamphlets publishing international applications under the PCT.

AL	Albania	ES	Spain	LS	Lesotho	SI	Slovenia
AM	Armenia	FI	Finland	LT	Lithuania	SK	Slovakia
AT	Austria	FR	France	LU	Luxembourg	SN	Senegal
AU	Australia	GA	Gabon	LV	Latvia	SZ	Swaziland
AZ	Azerbaijan	GB	United Kingdom	MC	Monaco	TD	Chad
BA	Bosnia and Herzegovina	GE	Georgia	MD	Republic of Moldova	TG	Togo
BB	Barbados	GH	Ghana	MG	Madagascar	TJ	Tajikistan
BE	Belgium	GN	Guinea	MK	The former Yugoslav Republic of Macedonia	TM	Turkmenistan
BF	Burkina Faso	GR	Greece	ML	Mali	TR	Turkey
BG	Bulgaria	HU	Hungary	MN	Mongolia	TT	Trinidad and Tobago
BJ	Benin	IE	Ireland	MR	Mauritania	UA	Ukraine
BR	Brazil	IL	Israel	MW	Malawi	UG	Uganda
BY	Belarus	IS	Iceland	MX	Mexico	US	United States of America
CA	Canada	IT	Italy	NE	Niger	UZ	Uzbekistan
CF	Central African Republic	JP	Japan	NL	Netherlands	VN	Viet Nam
CG	Congo	KE	Kenya	NO	Norway	YU	Yugoslavia
CH	Switzerland	KG	Kyrgyzstan	NZ	New Zealand	ZW	Zimbabwe
CI	Côte d'Ivoire	KP	Democratic People's Republic of Korea	PL	Poland		
CM	Cameroon	KR	Republic of Korea	PT	Portugal		
CN	China	KZ	Republic of Kazakhstan	RO	Romania		
CU	Cuba	LC	Saint Lucia	RU	Russian Federation		
CZ	Czech Republic	LI	Liechtenstein	SD	Sudan		
DE	Germany	LK	Sri Lanka	SE	Sweden		
DK	Denmark	LR	Liberia	SG	Singapore		
EE	Estonia						

## INTERNATIONAL SEARCH REPORT

International application No.  
PCT/US00/01956

## A. CLASSIFICATION OF SUBJECT MATTER

IPC(6) : A61K 31/435, 31/47  
US CL : 514/277, 279, 299, 311

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

U.S. : 514/277, 279, 299, 311

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

Please See Extra Sheet.

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X, P	EP 0 940 391 A2 (FARINA et al.) 08 September 1999 (09-08-99), see entire document, especially page 9.	3-5
X	WO 96/02509 A1 (FARINA et al.) 01 February 1996 (01-02-96), see entire document, especially pages 4-7.	3-5

Further documents are listed in the continuation of Box C.  See patent family annex.

Special categories of cited documents:	*T*	later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
*A* Document defining the general state of the art which is not considered to be of particular relevance		
*E* earlier document published on or after the international filing date	*X*	document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
*I* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)	*Y*	document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
*O* document referring to an oral disclosure, use, exhibition or other means	*&*	document member of the same patent family
*P* document published prior to the international filing date but later than the priority date claimed		

Date of the actual completion of the international search	Date of mailing of the international search report
25 MARCH 2000	25 MAY 2000
Name and mailing address of the ISA/US Commissioner of Patents and Trademarks Box PCT Washington, D.C. 20231 Facsimile No. (703) 305-3230	Authorized officer Cybille D-Muirhead Telephone No. (703) 308-0196

INTERNATIONAL SEARCH REPORT

International application No.

PCT/US00/01956

**B: FIELDS SEARCHED**

Electronic data bases consulted (Name of data base and where practicable terms used):

**EAST:**

neurokinin 3 or NK-3 receptor antagonist or inhibitor, prostate cancer or tumor or hyperplasia, baldness, alopecia, atresia, anovulation, infertility, dysmenorrhea, uterine bleeding, leutinizing hormone, testosterone

## PATENT COOPERATION TREATY

PCT

## INTERNATIONAL PRELIMINARY EXAMINATION REPORT

REC'D 25 OCT 2000

WIPO

PCT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference P50869	FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. PCT/US00/01956	International filing date (day/month/year) 25 JANUARY 2000	Priority date (day/month/year) 25 JANUARY 1999
International Patent Classification (IPC) or national classification and IPC IPC(7): A61K 31/435, 31/47 and US Cl.: 514/277, 279, 299, 311		
Applicant SMITHKLINE BEECHAM CORPORATION		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.

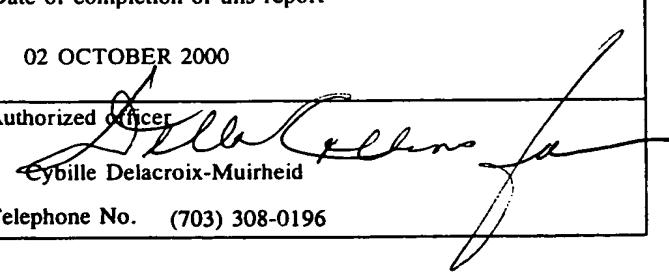
2. This REPORT consists of a total of 4 sheets.

This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

These annexes consist of a total of 0 sheets.

3. This report contains indications relating to the following items:

- I  Basis of the report
- II  Priority
- III  Non-establishment of report with regard to novelty, inventive step or industrial applicability
- IV  Lack of unity of invention
- V  Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI  Certain documents cited
- VII  Certain defects in the international application
- VIII  Certain observations on the international application

Date of submission of the demand 08 AUGUST 2000	Date of completion of this report 02 OCTOBER 2000
Name and mailing address of the IPEA/US Commissioner of Patents and Trademarks Box PCT Washington, D.C. 20231	Authorized officer  Evelyne Delacroix-Muirheid
Facsimile No. (703) 305-3230	Telephone No. (703) 308-0196

## INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/US00/01956

## I. Basis of the report

## 1. With regard to the elements of the international application:\*

 the international application as originally filed the description:

pages 1-11, as originally filed

pages NONE, filed with the demand

pages NONE, filed with the letter of

 the claims:

pages 12, as originally filed

pages NONE, as amended (together with any statement) under Article 19

pages NONE, filed with the demand

pages NONE, filed with the letter of

 the drawings:

pages none, as originally filed

pages NONE, filed with the demand

pages NONE, filed with the letter of

 the sequence listing part of the description:

pages NONE, as originally filed

pages NONE, filed with the demand

pages NONE, filed with the letter of

## 2. With regard to the language, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language \_\_\_\_\_ which is:

 the language of a translation furnished for the purposes of international search (under Rule 23.1(b)). the language of publication of the international application (under Rule 48.3(b)). the language of the translation furnished for the purposes of international preliminary examination (under Rules 55.2 and/or 55.3).

## 3. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

 contained in the international application in printed form. filed together with the international application in computer readable form. furnished subsequently to this Authority in written form. furnished subsequently to this Authority in computer readable form. The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished. The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.4.  The amendments have resulted in the cancellation of: the description, pages none the claims, Nos. none the drawings, sheets/fig none5.  This report has been drawn as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).\*\*

\* Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17).

\*\*Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.

## INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/US00/01956

**V. Reasons of statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement****1. statement**

Novelty (N)	Claims 1-6	YES
	Claims none	NO
Inventive Step (IS)	Claims 1-6	YES
	Claims none	NO
Industrial Applicability (IA)	Claims 1-6	YES
	Claims none	NO

**2. citations and explanations (Rule 70.7)**

Claims 1-6 meet the criteria set out in PCT Article 33(2)-(4), because the prior art, upon further consideration, does not teach or fairly suggest the claimed methods of treatment or prophylaxis of a disease characterized by androgenic dependency; a method for suppressing testosterone production and a method of suppressing the production of luteinizing hormone.

The claimed methods can also be used in industry.

----- NEW CITATIONS -----  
NONE

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/US00/01956

**Supplemental Box**

(To be used when the space in any of the preceding boxes is not sufficient)

Continuation of: Boxes I - VIII

Sheet 10